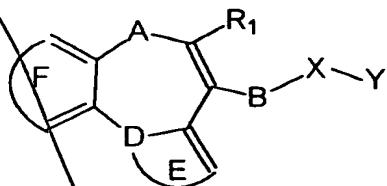


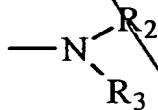
CLAIMS

1. A pharmaceutical composition comprising an apoptosis-inducing amount of a
5 compound having the general formula (I):-

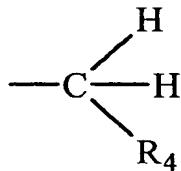


wherein (i) R₁ represents unsubstituted straight chain C₁-C₁₀, or branched C₁-C₁₀ alkyl or unsubstituted C₃-C₁₀ cycloalkyl; or straight chain or branched C₁-C₁₀ alkyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or
10 C₃-C₁₀ cycloalkyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or unsubstituted straight chain, or branched C₂-C₁₀ alkenyl or unsubstituted C₃-C₁₀ cycloalkenyl; or straight chain or branched C₂-C₁₀ alkenyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or C₂-C₁₀ cycloalkenyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or an unsubstituted C₆-C₂₀ aryl group or a C₆-C₂₀ aryl group substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO, phenyl, phenoxy, CH₂ phenyl, naphthyl; and
15 (ii) A represents N, O, S or the group CH₂; and
(iii) B represents O, or the group CH₂; and
20 (iv) D represents N and the cyclic group labelled E is taken together with D to form a pyrrole, imidazole or indole ring or a pyrrole substituted with methyl, chloryl or formyl preferably at the 2-position; and the group formed by E and D together is optionally substituted by one or more of the substituents F, Br, Cl, I, F₃C, MeO, EtO; and
25 (v) wherein the cyclic group labelled F represents an unsubstituted C₆-C₂₀ aryl group or a C₆-C₂₀ aryl group substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; and wherein

- (vi) X represents a group C=O, C=S, P=O or CH₂; and when X is P=O, R₂ and R₃ are O-Me and/or -O-Et;
- (vii) and wherein Y represents the group



- 5 wherein R₂ and R₃ are independently hydrogen or unsubstituted straight chain C₁-C₁₀, or branched C₁-C₁₀ alkyl or unsubstituted C₃-C₁₀ cycloalkyl, or straight chain or branched C₁-C₁₀ alkyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or C₃-C₁₀ cycloalkyl substituted with one or more of Cl, N(Me)₂, Br, N(C₁-C₃ alkyl)_n where n=1 or 2; or unsubstituted straight chain, or branched C₂-C₁₀ alkenyl; or
- 10 unsubstituted C₃-C₁₀ cycloalkenyl, or straight chain or branched C₂-C₁₀ alkenyl substituted with one or more Cl, N(Me)₂, Br, N(C₁-C₃ alkyl)_n where n=1 or 2; or C₂-C₁₀ cycloalkenyl substituted with one or more Cl, N(Me)₂, Br, N(C₁-C₃)_n where n=1 or 2; or R₂ and R₃ can be taken together with the nitrogen atom to which they are bonded to form a heterocycle optionally containing one or more other heteroatoms
- 15 selected from O, N or S and optionally substituted by C₁-C₄ alkyl, methyl, F, Br, Cl, I, F₃C, MeO or EtO;
- or Y represents the group



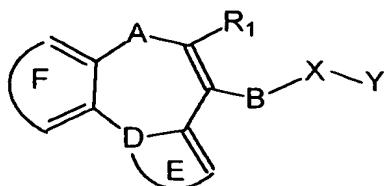
- wherein R₄ is (CH₂)_n CH₃ where n is zero or an integer from 1 to 12;
- 20 and R₄ is optionally substituted with F, Br, Cl, I, F₃C, MeO or EtO;
- or Y represents unsubstituted straight chain C₁-C₁₀, or branched C₁-C₁₀ alkyl or unsubstituted C₃-C₁₀ cycloalkyl, or straight chain or branched C₁-C₁₀ alkyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or C₃-C₁₀ cycloalkyl substituted with one or more of Cl, N(Me)₂, Br, N(C₁-C₃ alkyl)_n where n=1 or 2; or unsubstituted straight chain, or branched C₂-C₁₀ alkenyl; or unsubstituted C₃-C₁₀ cycloalkenyl,

or straight chain or branched C₂-C₁₀ alkenyl substituted with one or more Cl, N(Me)₂, Br, N(C₁-C₃alkyl)_n where n=1 or 2; or C₂-C₁₀ cycloalkenyl substituted with one or more Cl, N(Me)₂, Br, N(C₁-C₃)n where n=1 or 2.

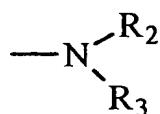
- 5 2. A composition according to claim 1 wherein R₁ is an unsubstituted phenyl group; or phenyl substituted by one or more of F, Br, Cl, I, F₃C, MeO, EtO, phenyl, phenoxy, CH₂ phenyl; or unsubstituted naphthyl or naphthyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO and if substituted the naphthyl group preferably being substituted at the 1- or 2- position or at both positions; or an unsubstituted five or six membered heterocyclic group with at least one heteroatom and wherein the, or each heteroatom is selected from N, O or S; or a five or six membered heterocyclic group with at least one heteroatom and wherein the or each heteroatom is selected from N, O, S, the heterocyclic group being substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO and preferably the heterocyclic group being selected from 2- and 3-pyridine, pyrrole, or thiophene.
- 10 3. A composition according to any preceding claim wherein the group F is an unsubstituted phenyl group or phenyl substituted by one or more of F, Br, Cl, I, F₃C, MeO, EtO; or unsubstituted naphthyl or naphthyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or an unsubstituted five or six membered heterocyclic group with at least one hetero atom and wherein the, or each heteroatom is selected from N, O or S; or a five or six membered heterocyclic group with at least one heteroatom and wherein the or each heteroatom is selected from N, O, S, the heterocyclic group being substituted with one or more of C₁-C₄ alkyl groups, F, Br, Cl, I, F₃C, MeO, EtO and preferably the heterocyclic group being selected from 2- and 3- pyridine, pyrrole, or thiophene.
- 15 4. A composition as claimed in claim 1 or claim 2 in which R₁ and/or F represent a naphthyl group.
- 20 5. A composition as claimed in any preceding claim in which R₁ represents straight chain or branched substituted or unsubstituted C₂-C₁₀ alkyl, substituted or unsubstituted

~~C₃-C₇ cycloalkyl more preferably C₃-C₄ cycloalkyl, C₅-C₇ cycloalkenyl which may or may not be substituted, substituted or unsubstituted C₆-C₁₄ aryl.~~

6. A composition as claimed in any preceding claim wherein the cyclic group F
5 represents substituted or unsubstituted C₆-C₁₄ aryl group.
7. A composition as claimed in any preceding claim wherein Y represents a branched C₂-C₁₀ alkyl group, a C₃-C₇ cycloalkyl group, an unsubstituted or substituted C₅-C₇ cycloalkenyl group.
10
8. A composition as claimed in claim 1 wherein the compound is selected from those having the formulae:-
PBOX-1, PBOX-2, PBOX-3, PBOX-4, PBOX-5, PBOX-6, PBOX-7, PBOX-8,
PBOX-9, PBOX-10, PBOX-11, PBOX-12, PBOX-13, PBOX-14, PBOX-15, PBOX-
15 16, PBOX-17, PBOX-18, PBOX-19, PBOX-20, PBOX-21, PBOX-22, PBOX-23,
PBOX-24, PBOX-25, PBOX-26, PBOX-27, PBOX-28, PBOX-29, PBOX-30, PBOX-
31, PBOX-32, PBOX-33, PBOX-34, PBOX-35, PBOX-36, PBOX-37, PBOX-38,
PBOX-39, PBOX-40, PBOX-41, PBOX-42, PBOX-43, PBOX-44, PBOX-45, PBOX-
46, PBOX-47, PBOX-48, PBOX-49, PBOX-50, PBOX-51, PBOX-52, PBOX-53,
20 PBOX-54, PBOX-55, PBOX-56, PBOX-57, PBOX-58, PBOX-59, PBOX-60, PBOX-
61, PBOX-62, PBOX-63, PBOX-64 as defined herein.
9. A composition as claimed in claim 1 wherein the compound is selected from those having the formulae:- PBOX-3, PBOX-4, PBOX-5, PBOX-6, PBOX-7, PBOX-
25 8, PBOX-9, PBOX-12, PBOX-24, PBOX-25, PBOX-26, PBOX-27, PBOX-28,
PBOX-30.
10. Use of a compound having the general formula (I)

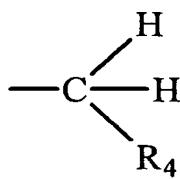


- wherein (I) R₁ represents unsubstituted straight chain C₁-C₁₀, or branched C₁-C₁₀ alkyl or unsubstituted C₃-C₁₀ cycloalkyl; or straight chain or branched C₁-C₁₀ alkyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or C₃-C₁₀ cycloalkyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or unsubstituted straight chain, or branched C₂-C₁₀ alkenyl or unsubstituted C₃-C₁₀ cycloalkenyl; or straight chain or branched C₂-C₁₀ alkenyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or C₂-C₁₀ cycloalkenyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or an unsubstituted C₆-C₂₀ aryl group or a C₆-C₂₀ aryl group substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO, phenyl, phenoxy, CH₂ phenyl, naphthyl and
- (ii) A represents N, O, S or the group CH₂; and
- (iii) B represents O, or the group CH₂; and
- (iv) D represents N and
- the cyclic group labelled E is taken together with D to form a pyrrole, imidazole or indole ring or a pyrrole substituted with methyl, chloryl or formyl preferably at the 2 position;
- and the group formed by E and D together is optionally substituted by one or more of the substituents F, Br, Cl, I, F₃C, MeO, EtO; and
- (v) wherein the cyclic group labelled F represents an unsubstituted C₆-C₂₀ aryl group or a C₆-C₂₀ aryl group substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; and wherein
- (vi) X represents a group C=O, C=S, P=O or CH₂; and when X is P=O, R₂ and R₃ are O-Me and/or -O-Et,
- 25 (vii) and where Y represents the group



wherein R₂ and R₃ are independently hydrogen or unsubstituted straight chain C₁-C₁₀, or branched C₁-C₁₀ alkyl or unsubstituted C₃-C₁₀ cycloalkyl, or straight chain or branched C₁-C₁₀ alkyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or

~~C₃-C₁₀ cycloalkyl substituted with one or more of Cl, N(Me)₂, Br, N(C₁-C₃ alkyl)_n where n=1 or 2; or unsubstituted straight chain, or branched C₂-C₁₀ alkenyl; or unsubstituted C₃-C₁₀ cycloalkenyl, or straight chain or branched C₂-C₁₀ alkenyl substituted with one or more Cl, N(Me)₂, Br, N(C₁-C₃ alkyl)_n where n=1 or 2; or C₂-C₁₀ cycloalkenyl substituted with one or more Cl, N(Me)₂, Br, N(C₁-C₃)_n where n=1 or 2; or R₂ and R₃ can be taken together with the nitrogen atom to which they are bonded to form a heterocycle optionally containing one or more other heteroatoms selected from O, N or S;~~

- 5 ~~or Y represents the group~~
- 10 
wherein R₄ is C₁ - C₁₃ alkyl and R₄ is optionally substituted with F, Br, Cl, I, F₃C, MeO or EtO; or

- 15 ~~Y is unsubsubstituted straight chain C₁-C₁₀ or branched C₁-C₁₀ alkyl or unsubsubstituted C₃-C₁₀ cycloalkyl, or straight chain or branched C₁-C₁₀ alkyl substituted with one or more of F, Br, Cl, I, F₃C, MeO, EtO; or C₃-C₁₀ cycloalkyl substituted with one or more of Cl, N(Me)₂, Br, N(C₁-C₃ alkyl)_n where n=1 or 2; or unsubsubstituted straight chain, or branched C₂-C₁₀ alkenyl; or unsubsubstituted C₃-C₁₀ cycloalkenyl, or straight chain or branched C₂-C₁₀ alkenyl substituted with one or more Cl, N(Me)₂, Br, N(C₁-C₃ alkyl)_n where n=1 or 2; or C₂-C₁₀ cycloalkenyl substituted with one or more Cl, N(Me)₂, Br, N(C₁-C₃)_n where n=1 or 2; or R₂ and R₃ can be taken together with the nitrogen atom to which they are bonded to form a heterocycle optionally containing one or more other heteroatoms selected from O, N or S;~~
- 20 N(C₁-C₃)_n where n=1 or 2 or a compound PK11195 or Ro5-4864 as defined herein in the preparation of a medicament for the treatment of tumours or other cancerous conditions.

11. Use according to claim 10 wherein R₁ represents straight chain or branched substituted or unsubsubstituted C₂-C₁₀ alkyl, substituted or unsubsubstituted C₃-C₇ cycloalkyl more preferably C₃-C₄ cycloalkyl, C₅-C₇ cycloalkenyl which may or may not be substituted, substituted or unsubsubstituted C₆-C₁₄ aryl.

12. Use according to claim 10 or claim 11 wherein the cyclic group F represents substituted or unsubstituted C₆-C₁₄ aryl group.

13. Use according to any one of claims 10 to 12 wherein Y represents a branched C₂-C₁₀ alkyl group, a C₃-C₇ cycloalkyl group, an unsubstituted or substituted C₅-C₇ cycloalkenyl group.

14. Use of a compound of Formula I as defined in claim 1 as a pharmaceutically active substance.

10

15. Use of a compound selected from: PBOX-1, PBOX-2, PBOX-3, PBOX-4, PBOX-5, PBOX-6, PBOX-7, PBOX-8, PBOX-9, PBOX-10, PBOX-11, PBOX-12, PBOX-13, PBOX-14, PBOX-15, PBOX-16, PBOX-17, PBOX-18, PBOX-19, PBOX-20, PBOX-21, PBOX-22, PBOX-23, PBOX-24, PBOX-25, PBOX-26, PBOX-27,

15

PBOX-28, PBOX-29, PBOX-30, PBOX-31, PBOX-32, PBOX-33, PBOX-34, PBOX-35, PBOX-36, PBOX-37, PBOX-38, PBOX-39, PBOX-40, PBOX-41, PBOX-42, PBOX-43, PBOX-44, PBOX-45, PBOX-46, PBOX-47, PBOX-48, PBOX-49, PBOX-50, PBOX-51, PBOX-52, PBOX-53, PBOX-54, PBOX-55, PBOX-56, PBOX-57, PBOX-58, PBOX-59, PBOX-60, PBOX-61, PBOX-62, PBOX-63, PBOX-64 as

20

defined herein, as a pharmaceutically active substance.

16. Use of a compound selected from those having the formula:

PBOX-3, 4, 5, 6, 7, 8, 9, 12, 24, 25, 26, 27, 28, and 30 in the preparation of a medicament for the treatment of tumours or other cancerous conditions.

25

17. Use of a compound selected from those having the formula:

PBOX-3, 4, 5, 6, 7, 8, 9, 12, 24, 25, 26, 27, 28, and 30 as defined herein as a pharmaceutically active substance.

30

18. A method of medical treatment comprising administering a pharmaceutically effective amount of a compound selected from those having the formula:

PBOX-3, 4, 5, 6, 7, 8, 9, 12, 24, 25, 26, 27, 28, and 30 as defined herein.

19. A compound selected from those having the formula: PBOX-38, 36, 59, 37, 39, 40, 41, 53, 54, 61, 14, 62, 63, 64, 55, 56, 8, 9, 57, 58, 59, 4, 6, 7, 12, 10, 11, 13, 15, 16, 17, 18, 19, 20 or 60 as defined herein.

